PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 70204	**FOR FURTHER ACTION**	See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
International application No. PCT/EP 03/14949	International filing date *(day/month/year)* 29.12.2003	Priority date *(day/month/year)* 30.12.2002
International Patent Classification (IPC) or both national classification and IPC C07D451/02, C07D213/50, C07D213/89, C07D213/00		
Applicant SYNGENTA PARTICIPATIONS AG		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 6 sheets, including this cover sheet.

   ☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

   These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

   - I ☒ Basis of the opinion
   - II ☐ Priority
   - III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
   - IV ☐ Lack of unity of invention
   - V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
   - VI ☐ Certain documents cited
   - VII ☐ Certain defects in the international application
   - VIII ☐ Certain observations on the international application

Date of submission of the demand	Date of completion of this report
18.06.2004	22.03.2005
Name and mailing address of the international preliminary examining authority: European Patent Office - Gitschiner Str. 103 D-10958 Berlin Tel. +49 30 25901 - 0 Fax: +49 30 25901 - 840	Authorized Officer Frelon, D Telephone No. +49 30 25901-312

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/EP 03/14949

I. Basis of the report

1. With regard to the **elements** of the international application *(Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17))*:

Description, Pages

1-149 as originally filed

Claims, Numbers

1-7 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

   These elements were available or furnished to this Authority in the following language: , which is:

   ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).

   ☐ the language of publication of the international application (under Rule 48.3(b)).

   ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

   ☐ contained in the international application in written form.

   ☐ filed together with the international application in computer readable form.

   ☐ furnished subsequently to this Authority in written form.

   ☐ furnished subsequently to this Authority in computer readable form.

   ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

   ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

   ☐ the description, pages:

   ☐ the claims, Nos.:

   ☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

   (Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes:	Claims	1,2,4-7
	No:	Claims	3
Inventive step (IS)	Yes:	Claims	
	No:	Claims	1-7
Industrial applicability (IA)	Yes:	Claims	1-7
	No:	Claims	

2. Citations and explanations

see separate sheet

Re item V.

1. Cited documents

D1: WO 00/15615 cited by the applicant
D2: WO 01/94339 cited by the applicant
D3: WO 01/66522 cited by the applicant
D4: DATABASE CAPLUS [Online]; CHEN, LING CHING ET AL: accession no. 1985:131884
D5: GARST, MICHAEL E. ET AL: JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, 106(13), 3882-4
D6: LAW, DAVID C. F. ET AL: JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, 90(9), 2376-86
D7: TAMURA, YASUMITSU ET AL: TETRAHEDRON LETTERS, (47), 4075-8

2. Novelty

2.1 Final compounds of claim 1

The presently claimed subject-matter can be considered to be novel since no specific example is disclosed in the prior art. Due to overlaps with D1, D2 and D3, the present application appears partly as a selection from the prior art wherein the constant presence of the double bond $A_1=A_2$ has been specified; this feature is therefore the common feature on which the novelty should be acknowledged.

2.2 Intermediate compounds (Da), (Db) and (VII) of claims 2 to 4

Compounds (Da) and (VII) appear to be novel.
In claim 3 directed to compounds of formula (Db), 9 compounds are disclaimed. Except the 3 first of them (which correspond to compounds 18a/18b, 17c and 17a, resp. of D6), there is no clear reason (*e.g.*, a document that did not come to the knowledge of the examining division) for disclaiming the other compounds.
Furthermore, D4 to D7 disclose compounds (see international search report citations) which are novelty destroying for claim 3.

The novelty of the subject-matter of claim 3 must be appropriately restored.

3. Inventive step

3.1 Final compounds

3.1.1 According to the description, the problem underlying the present application is to provide herbicidally active "nicotinoyl derivatives" (It is noted that this expression is misleading since only the compounds wherein Q is a radical (Q_1) are actual "nicotinoyl derivatives" - see also point 3.1.3, below). The compounds of the cited prior art documents solve the same problem. The skilled person can expect to solve the above problem with the presently claimed compounds considering their selection from the prior art. D1 to D3 are therefore the closest prior art documents.

3.1.2 The Applicant has brought a comparative test with compound 1007 of D2 (designated as "compound A"). The test shows that the presence of the double bond enhanced the herbicidal action when compared with the compound which differ only by a single bond in the same position. The relevance of this test over all the application is questioned because it concerns only the compounds wherein Q is the radical (Q_1), that is, only the very "nicotinoyl derivatives" mentioned in introduction of the application. It is noted the other values for Q, (Q_2) and (Q_3), are structurally significantly different (to change from (Q_1) to (Q_2) or (Q_3) a bond must be broken) whereas the only feature distinguishing the invention from the prior art is the replacement (without the need to break a bond) of a cyclic single bond by a cyclic double bond.

3.1.3 The representativity of the demonstration by the comparative test is highly questionable due to the extreme closesness of the prior art. The generalisation of the three exemples (table B2, page 149) which have been actually tested is not reasonable: only *formula Ib* is illustrated, *i.e.* actual **nicotinoyl derivatives** (CO in position 3 relative to the pyridine nitrogene) wherein:
- Z_1 is CH_3 or $CH_2OCH_2CH_2OCH_3$ (m_1 =1)
- X is F or CF_3
- A_1, A_2 are CH
- R_3 is OH

- R_1 and R_2 are H or CH_3.

In a situation where the distinguishing feature is very small, it is hardly credible that **any** type of substitution which represent a **much larger structural** perturbation than the minor change of a single bond to a double bond will have no impact on the reactivity of the reste of the molecule: in other words, it is not proved convincingly that the mere change single/double bond will insure for **all** the compounds of the presently claimed subject-matter a significant enhancement of the herbicidal activity on which the acknowledgement of the inventive step is to be based.

3.2 Intermediate compounds

Only novel intermediate compounds should be claimed. These compounds can be aknowledged as being inventive only in connection with inventive final compounds.

4. Miscellaneous

4.1 Many unclarties and unconsistencies occur in the drafting of the claims: The rests R_1, R_2, R_6, R_7 and R_8 are redundantly defined. The same values appear several time in different batches of definitions without obvious reasons.

4.2 The same remark applies to the confusing definitions of the rests Z_1, Z_2 and Z_3. It is noted that, if L_1 is to be a possible "substituent" (*i.e.*, thought to replace where relevant a hydrogen atom), it cannot represent a hydrogen atom itself.

4.3 The rests "Ar_1" to "Ar_{12}" are presented in a misleading way. Such a designation is usually reserved (and understood by the skilled persons) to the aromatic hydrocarbons. On the contrary, it is to read as encompassing any sort of ring, including non-aromatic ones. In conclusion, the claims lack the necessary clarity and consistency.

4.4 Additionally R_3 is not identically defined in claims 1 and 3: this discrepancy hinders the comprehensibility of the claim dependance.